Page 1 of 1

Day: Friday Date: 9/17/2004





PALM INTRANET

Inventor Information for 10/692355

Inventor Name	City	State/Country
DAVIES, ROBERT	ARLINGTON	MASSACHUSETTS
BEBBINGTON, DAVID	BERKSHIRE	UNITED KINGDOM
KNEGTEL, RONALD	ABINGDOM	UNITED KINGDOM
WANNAMAKER, MARION	STOW	MASSACHUSETTS
LI, PAN	ARLINGTON	MASSACHUSETTS
FORSTER, CORNELIA	PELHAM	NEW HAMPSHIRE
PIERCE, ALBERT	SOMERVILLE	MASSACHUSETTS
Appin Info Contents Petition Info	Atty/Agent Info	Continuity Data Foreign Data

Search Another: Application# Search	or Patent# Search
PCT / Search	or PG PUBS #
Attorney Docket #	Search
Bar Code # Sea	arch

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L Number	Hits	Search Text	DB	Time stamp
5	4538	544/298, 544/328, 544/295, 544/122, 540/601,	USPAT	2004/09/17 14:22
		514/217.06, 514/235.8, 514/252.19, 514/275		
6	144	GSK	USPAT	2004/09/17 14:23
7	16	(544/298, 544/328, 544/295, 544/122,	USPAT	2004/09/17 14:27
		540/601, 514/217.06, 514/235.8, 514/252.19,		<u> </u>
		514/275) and GSK		
8	1	"6656939"	USPAT	2004/09/17 14:28
9	1	"6727251"	USPAT	2004/09/17 14:28
10	1	"6653301"	USPAT	2004/09/17 14:29
11	1	"6653300"	USPAT	2004/09/17 14:29
12	1	"6664247"	USPAT	2004/09/17 14:30
13	1	"6787541"	USPAT	2004/09/17 14:30
14	1	"6689784"	USPAT	2004/09/17 14:30

L Number	Hits	Search Text	DB	Time stamp
5	4538	544/298, 544/328, 544/295, 544/122, 540/601,	USPAT	2004/09/17 14:22
		514/217.06, 514/235.8, 514/252.19, 514/275		
6	144	GSK	USPAT	2004/09/17 14:23
7	16	(544/298, 544/328, 544/295, 544/122,	USPAT	2004/09/17 14:23
		540/601, 514/217.06, 514/235.8, 514/252.19,		
		514/275) and GSK		

10/692,355

Page 3

 $1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 11 \quad 15 \quad 16 \quad 17 \quad 18 \quad 19 \quad 20$

chain bonds :

4-12 7-14 9-12 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 15-16 15-20 16-17

17-18 18-19 19-20 exact/norm bonds :

4-12, 7-8 7-11 8-9 9-10 9-12 10-11

exact bonds : 7-14 12-13

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 15-16 \quad 15-20 \quad 16-17 \quad 17-18 \quad 18-19 \quad 19-20$

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:10:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED

12 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

Habte

10/692,355

Page 4

BATCH **COMPLETE**

PROJECTED ITERATIONS:

33 TO 447

PROJECTED ANSWERS:

1 TO 80

1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:10:13 FILE 'REGISTRY' 267 TO ITERATE FULL SCREEN SEARCH COMPLETED -

100.0% PROCESSED

267 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

16 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42 155.63

FILE 'CAPLUS' ENTERED AT 13:10:17 ON 17 SEP 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 17 Sep 2004 VOL 141 ISS 13 FILE LAST UPDATED: 16 Sep 2004 (20040916/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4

10 L3

=> d ibib abs hitstr tot

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L4 ANSMER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
137:370099
Preparation of 3-aminopyrazolo[3,4-c]pyridazines as inhibitors of glycogen synthase kinase-3 and crystal actructures of gek-3 protein and protein complexes

INVENTOR(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 778 pp.
CODEM: PIXXD2

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
English
English
English
   DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                    PATENT NO.
                                                                                                                                                                     KIND
                                                                                                                                                                                                               DATE
                                                                                                                                                                                                                                                                                                APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                     DATE
PATENT NO. KIND DATE APPLICATION NO. DALL

WO 2002088078 A2 20021107 W0 2002-US13511 20020429

W1 AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CC, CR, CU, CZ, DB, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, CM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, KE, KF, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GM, ML, MR, NB, SN, TD, TG

US 2003125332 A1 20030703 US 2002-152555 200204429

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, CR, IT, LI, LU, NL, NL, SE, MC, PRIORITY APPLN. INFO::

US 2001-297094P P 20010608
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US 2002-361899P P 20020227 W 20020429 WO 2002-US13511

P 20010608

US 2001-297094P

OTHER SOURCE(S):

MARPAT 137:370099

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB Title compds. [I; R1 = H, RCO, RO2C, (substituted) aliphatyl,
carbocyclyl,
heterocyclyl, heteroaryl, etc.; R2, R3 = H, (substituted) aliphatyl,
carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NR2,
NRCOR, SR, OR, C73, halo, NO2, cyano, etc.; R = H, (substituted)
aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaralkyl, NR2,
heteroaralkyl], were prepared Thus,
3-chloro-4-cyano-5,6-diphenylpyridazine
was refluxed with N2H4 in EtOH to give 3-amino-4,5-diphenyl-1H-
pyrxxxlo[3,4-c]pyridazine. The latter inhibited gsk-3 with Ki≤0.1
μM.

LT 474381-74-3P
                     474381-74-3P
 Ri: PRF (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure determination; preparation of pyrazolopyridazines as inhibitors
as inhibitors

of gsk-3 and crystal structures of gsk-3β protein and protein complexes)

RN 474381-74-3 CAPLUS

Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3β), compd. with

N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine

(1:1) (9CI) (CA INDEX NAME)
                    CM 1
                   CRN 474231-10-2
CMF Unspecified
CCI MAN
   *** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
                   CM 2
                     CRN 404828-10-0
CMF C17 H14 N6
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L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:465821 CAPLUS
DOCUMENT NUMBER: 137:47211 DOCUMENT NUMBER: 137:47211
Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of apoptosis, their preparation, and the use thereof as, e.g., anticancer agents
Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, TITLE: INVENTOR(S): Sanjeeva; Pervin, Azra Cytovia, Inc., USA PCT Int. Appl., 210 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): DOCUMENT TYPE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

	PATENT NO.																	
		2002																
		W :	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC.	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,
TM																		
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	υG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
												. GW,						
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		2003									us a	2001-	1244	4	-	2	0011	212
	US	6716	851			B2		2004	0406									
	EP	1351	691			A1		2003	1015		EP 2	2001-	9900	48		2	0011	212
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	US	2004	0975	03		A1		2004	0520		us a	2003 -	7044	48		2	0031	110
PRIC	RIT	APP	LN.	INFO	. 1						us :	8000-	2545	81P		P 2	0001	212
										,	us 2	2001-	1244	4		A3 2	0011	212
											WO 2	2001-	US47	498	1	W 2	0011	212

MARPAT 137:47211

The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines 09/17/2004

k.
alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl,
heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl,
hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3,

cy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and

H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety

clin. conditions in which uncontrolled growth and spread of abnormal

occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over

specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave title compd. II in 44% yield. This compd. induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compd. I also showed marked selectivity for human breast.

ZK-79-1. Another compd. I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines.

IT 438249-08-2P, 4-(1H-Pyrazol-3-ylamino)-2-(3-pyridinyl)-6-(trifluoromethyl)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted
aryl(arylamino)pyrimidines and
analogs as caspase activators, apoptosis inducers, and anticancer agents)

RN 438249-08-2 CAPLUS
CN 4-Pyrimidinamine, N-1H-pyrazol-3-yl-2-(3-pyridinyl)-6-(trifluoromethyl)-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1156:247584 CAPLUS
1166:247584
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's dieease
Bebbington, David; Knegtel, Ronald; Golec, Julian M. C., Li, Pan; Davies, Robert; Charrier, Jean-Damien
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
CODEN: 1177D2
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
English

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				English														
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	PAT	FENT	NO.			KIN	D	DATE			APP	LICAT	TION	NO.		D	ATE	
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	WΩ	2002	0226	0.8		A 1		2002	0321		wo	2001-	US42	152		2	0010	914
												, BG,						
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			co,	UR,	,	7.0	71	THE,	7.0	TD.	N.C.	, KG	VD,	VD,	V7	T.C	T.F	T.D
			un,	T.M.	TU,	110,	11,	MD.	HC,	MV,	M	, MW,	MV.	M7	MO.	NZ.	DU,	DI.
			ъ,	ъ,	100,	LV,	PIA,	mD,	713,	nik,	CIT	TJ.	TIA,	mn,	mm,	mr.	113	110
			PT,	RO,	RU,	SD,	SE,	56,	51,	5K,	51	, 10,	IM,	IR,	11,	12,	UA,	UG.
												, KG,						
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												, LU,						
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	71	2003	0017	01		A,	,	2004	0301		Z.A	2003	1701			2	0010	914
	7.0	2003	0017	0.2		Α .		2004	0303		7 A	2003	1703			2	0010	914
	TD	2003	EDDI	10		ກາ		2004	0325		J.P	2002	5268	61		5	0010	914
	110	2004	0075	^1		2.1		2004	0520		110	2001	9634	71			0010	014
	03	2004	09/5	Ų1		N1		2004	0024		CD.	TR 2003 2003 2002 2001 2001	2710	61		2	0011	210
	L.P	R:	744		au	22	01/	2003	PD P	CD	CD	, IT.	77	711	MIT	ce.	MC	DT
		R:										, TR		ш,	1411,	56,	1.10	
				51,	LI,	Lv.	г,	RU,	nn.,	CI,	-AL	2001	2220					
	EP	1355																
		R:										, IT.		ьo,	NL,	SE,	MC,	P1.
			IE,	SI,	LT.	LV,	PI,	RO,	MK.	ÇΥ,	AL	, TR				_		
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	JΡ	2004	5187	43		Т2		2004	0624		JP	2002	5659	76		2	0011	219
	JР	2004	5194	79		T2		2004	0702		JР	2002	5679	28		2	0011	219
	ZA	2003	0016	97		A		2004	0301		ZA	2003	1697			2	0030	228
	ZA	5003	0016	99		A		2004	0301		ZA	2003	1699			2	0030	228
	ZA	2003	0017	02		A		2004	0301		ZA	2003	1702			2	0030	228
	ŽΑ	2003	0017	04		Α		2004	0301		ZA	2003	1704			2	0030	228

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ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4	ANS	WER :	OF	10	CAPLU	s (OPYRI	GHT	2004	ACS	on	STN	(Conti	nι	ued)
	ZA	2003	00169	98		A	200	04036	12	ZA	2003	-1698			20030228
	NO	2003	00118	38		A	200	33051	13	NO	2003	-1188			20030314
	NO	2003	00270	14		A	200	3082	1	NO	2003	-2704			20030613
	US	2004	11645	54		A1	200	14061	۱7	US	2003	-692355			20031023
	US	2004	15789	93		A1	200	04081	12	US	2003	722374			20031125
	US	2004	13278	31		A1	200	4070	80	US	2003	-736426			20031215
	US	2004	16714	1		A1	200	04082	26			-775699			20040210
PRIOR	RITY	APP	LN.	NFO.	. :					US	2000	-232795E	· I	•	20000915
										US	2000	-2578871	, ,	•	20001221
										US	2001	-2869491	, 1	•	20010427
										US	2001	-955601	,	.3	20010914
										WO	2001	-US42152	. ¥	,	20010914
										US	2001	-26966	,	1	20011219
										WO	2001	-US49139		•	20011219
										WO	2001	-US50312		•	20011219
										US	2001	-34019	,	.3	20011220
										US	2001	-34683	,	1	20011220

OTHER SOURCE(S): MARPAT 136:247584

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CR4; Z3 = N or CR8; Z4 = N or CR9; Z4 AB

together with their intertents. The property of the property o

ANSMER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) aliph., (heterolaryl. or heterocyclyl ring: Rxi= R, halo, O, OR, COR COZR. COCOR, COCHECOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, SO2N(R4)2,

aliph., (heterolary), or heterocyclyl ring; R3:-R, Maio, G, CN. COCR. COCR. COCCR. COCK2COR, NO2, CN. SOO.2R, NCR4)2, CON(R4)2, CON(R4)2, SOAN(R4)2, NR4COR, NR4COR, NR4COR(aliph.). NR4N(R4)2, C:NN(R4)2, C:NOR, NR4COR(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, NR4SOZN(R4)2, Or SOZR?; or NCR4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un) substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, COCR, COCR, COCOR, etc.] were prepd. as protein kinase inhibitors esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, disbetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N, Z4 = CR9]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioasmay results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of 0.1-10 µM for Aurora-2.

IT 404827-24-39, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5, 7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)amine 404828-11-19, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-19, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-19, (2-R-pyrazol-3-yl)-2-ylquinazolin-4-yl)-amine 404828-35-10-8P, (5-Methyl-2H-pyrazol-3-yl)-2-ylquinazolin-4-yl)-amine 404828-35-10-8P, (5-Methyl-2H-pyrazol-3-yl)-2-ylquinazolin-4-yl)-amine 404828-35-10-8P, (5-Methyl-2H-pyrazol-3-yl)-3-ylquinazolin-4-yllamine 404828-35-10-8P, (5-Methyl-2H-pyrazol-3-yl)-3-ylquinazolin-4-yllamine 404828-35-10-8P, (5-Methyl-2H-pyrazol-3-yl)-3-yllamine 404828-35-10-3P, (5-Methyl-2H-pyrazol-3-yllamine 404828-35-10-3

analogs as protein kinase inhibitors for treatment of cancer,

diabetes,
and Alzheimer's disease)
RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-y1)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
404828-12-2 CAPIUS
4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-37-1 CAPLUS CM 4-Ouinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl

4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Habte

ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-10-0 CAPLUS

(S-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI)

(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9CI) (CA INDEX NAME)

L4 ANSMER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continuone OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-45-1 CAPLUS (Continued)

4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-54-5 CAPEUS CN Thieno(3,2-d)pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4	ANSWER 4 OF 10	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	JP 2004518743	T2	20040624	JP 2002-565976	20011219
	JP 2004519479	Ť2	20040702	JP 2002-567928	20011219
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	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003001191	A	20030513	NO 2003-1191	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
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	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIO	RITY APPLN. INFO	. :		US 2000-2327951	P 20000915
				US 2000-257887E	P 20001221
				US 2001-2869491	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28940	W 20010914
				US 2001-26966	A1 20011219
				"3	
				WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247583

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyramidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z4 = N or CRy; Z4 Häbte

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220583 CAPLUS
DOCUMENT NUMBER: 136:247583

TITLE:

136:247583
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamakeff, Marion; bi, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 373 pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English 14

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PENT :	NO.			KIN	D	DATE				ICAT						
wo	2002				A1		2002	0321	,	WO 2	001-	US28	940		2	0010	914
	W:	ΑE,	AG.	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	ΒY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	Sí,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC.	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG	
ΑU	2001	0910	13		A5		2002	0326		AU 2	001-	9101	3		2	0010	914
US	2003	0550	44		A1		2003	0320	-	US 2	001-	9535	05		2	0010	914
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US	2003	0649	81		A1		2003	0403	1	US 2	001-	9528	36		2	0010	914
US	6638 2003 6613 2003	776			B2		2003	0902									
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US	2003	0736	87		A1		2003	0417	1	US 2	001-	9526	71		2	0010	914
	6660	731			B2		2003	1209									
US	2003	0781	66		A1		2003	0424	1	US 2	001-	9556	01		2	0010	914
US	6696	452			B2		2004	0224									
US	2003	0833	27		A1		2003	0501	1	US 2	001-	9528	33		2	0010	914
US	6610	577			B2		2003	0826									
BR	6696 2003 6610 2001	0140	88		A		2003	0617		BR 2	001-	1408	8		2	0010	914
EΡ	1318	997			A1		2003	0618	- 1	EP 2	001-	9710	82		21	0010	914
	R:						ES,						LU,	NL,	SE.	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
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ZA	2003	0017	03		A		2004	0302		ZA 2	003 -	1703			21	0010	914
JР	2004	5091	17		T2		2004	0325		JP 2	002-	5268	60		2	0010	914
US	2004	0975	01		A1		2004	0520		US 2	001-	9534	71		21	0010	914
EΡ	1345																
	R:						ES,						LU,	NL,	SE,	MC,	PT,
							RO,										
ΕP	1355																
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
NZ	5264	72			A		2004	0430	1	NZ 2	001-	5264	72		. 20	0011	219

R712,

AR712,

instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and bited
Ki values of < 0.1 µM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 µM for Aurora-2.
404627-24-3P, [2-(2-chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404628-10-0P,
(5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
404628-11-1P, (7-chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)(amine 404628-13-2P, (6-chloro-2-pyridin-4-ylquinazolin-4-yl)
(5-Methyl-2H-pyrazol-3-yl)(2-pyridin-3-yl)quinazolin-4-yl)amine
404628-51-3P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
404628-50-8P, (5-tert-Eutyl-2H-pyrazol-3-yl)(2-pyridin-4-yl)din-4-yldin-4-y

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer.

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPIUS
CN 4-Quinazolinamine, N-{5-methyl-1H-pyrazol-3-yl}-2-(4-pyridinyl}- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9C1 NDEX NAME)

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-45-1 CAPLUS

ON 4-Quinazolinamine, N-1H-pyrazol-3¹yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Ouinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

ANSMER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404829-54-5 CAPLUS
Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404873-43-4 CAPLUS CN 4-Quinazolinamine, N-(7-fluoro-1H-indazol-3-yl)-2-(1-isoquinolinyl)-(9C1)

(CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404873-44-5 CAPLUS
CN 4-Quinacolinamine, N-(5,7-difluoro-1H-indazol-3-yl)-2-(1-isoquinolinyl)(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404873-47-8 CAPLUS CN 4-Quinazolinamine, 2-(1-isoquinoliny1)-N-(5-methyl-1H-pyrazol-3-y1)-(5CI)

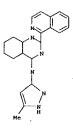
(CA INDEX NAME)

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE REFERENCE COUNT: 19 THERE ARE 19 CITED REPERBNCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404873-48-9 CAPLUS
CN 4-Quinazolinamine, N-(5-cyclopropyl-1H-pyrazol-3-yl)-2-(1-isoquinolinyl)(9C1) (CA INDEX NAME)

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404873-49-0 CAPLUS CN 4-Quinazolinamine, N-(5-fluoro-1H-indazol-3-yl)-2-(1-isoquinolinyl)-(9C1)

(CA INDEX NAME)



L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
15:247582
ITITLE:
16:247582
Preparation of pyrazolamines and analogs as protein kinage inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
Bebbington, David; Binch, Hayley: Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay: Charrier,
Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Porster, Cornelia; Pierce, Albert
Vertex Pharmaceuticals Incorporated, USA
CODEN: PIXXD2
PATENT INFORMATION:
14

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
2002:220582 CAPLUS
16:247582
Preparation of pyrazolamines and analogs as protein kinage inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
Pebhington, David; Binch, Hayley: Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay: Charrier,
Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Porster, Cornelia; Pierce, Albert
PCT Int. Appl., 355 pp.
CODEN: PIXXD2
PREMITY ACC. NUM. COUNT: 14

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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PAT	ENT :	NO.			KIN	D	DATE									ATE	
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	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ.	CA.	CH.	CN.
							DK,										
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							MD,										
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US	2003	0649	81		A1		2003	0403		US 2	001-	9528	36		2	0010	914
US	6613	776			B2		2003	0902									
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US	2003	0833	27		A1		2003	0501	1	US 2	001-	9528	33		2	0010	914
US	6610	577			B2		2003	0826									
EΡ	1317	***			N.T		2003	0611		25 2	001-	9/10	uь		- 2	OULUS	914
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		ΙE,	SI,	LT,	LV,	ΡĪ,	RO,	MK,	CY,	ΑL,	TR						
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БР	1355						2003										
	к:						ES,					LI,	LU,	NL.	SE,	MC,	PT,
			SI,				RO,										
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72	2004 2004 2003	1194	/9		12		2004	702		JP 2	002-	5679	28		2	00112	119
72	20030	1016	91		^		2004	3301	- 3	4A 2	003-	1697			2	00302	228
ur	2003	vo10:	77		A		4004	3301		ZA 2	003-	1699			2	00302	228

L4	ANSWER 5 OF 10	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
	ZA 2003001702	А	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003001189	A	20030513	NO 2003-1189	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003::692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIC	ORITY APPLN. INFO			US 2000-2327951	P 20000915
				US 2000-2578871	P 20001221
				US 2001-2869491	P 20010427
				WG 0001 055501	
				US 2001-955601	A3 20010914
				WO 2001-US28803	W 20010914
				WO 2001-0528803	W 20010914
				US 2001-26966	A1 20011219
				05 2001-26966	AI 20011219
				WO 2001-US49139	W 20011219
				MO 2001-054913:	# 20011219
				WO 2001-US50312	W 20011219
				2001-0230312	20011219
				US 2001-34019	A3 20011220
				00 2001-34019	LUUIILLU
				US 2001-34683	Al 20011220
				00 0002 04000	20011220

OTHER SOURCE(S):

MARPAT 136:247582



Title compds. I (wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1.2.4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR9; Z4 AB having

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE CAPLUS

4-Quinazolinamine, N-(5-methyl-1M-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-11-1 CAPLUS

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)20-2. C(R6)2NR6, CO, CO2. CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6COO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CONR6, OR = H or (un)substituted aligh., (heterolaryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2,

, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

results for the inhibition of GSK-B3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited

Ki values of < 0.1 µM for glycogen synthetase kinase 3β

(GSK-3β) and 0.1-1.0 µM for Aurora-2.

IT 404827-24-1P, (-2(-chloropyridin-3-yl)quinazolin-4-yl](5,7Difluoro-1H-indazol-3-yl)amine 404828-10-0P,

(5-Methyl-2H-pyrazol-3-yl)amine 404828-10-0P,

404828-11-1P, (7-chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P,

4ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P,

4ylquinazolin-4-yl)(2-pyridin-3-ylquinazolin-4-yl)mine

404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)mine

404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)mine

4yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)mine

4yl-2(2-pyridin-4-yl)mine 404829-34-5P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-yl)mine

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and

analogs as protein kinase inhibitors for treatment of cancer, diabetes.

and Alzheimer's disease)

duk Almelmet a tiacase; 46827-24-3 CAPLUS 4-Quinazolinamine, 2:(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (SCI) (CA INDEX NAME)

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS OR STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-12-2 CAPLUS CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-(9Cl) (CA INDEX NAME) MERIC DOUBLE BOODS ---CAPLUS amine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-37-1 CAPLUS

4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Page 12

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
N 40428-45-1 CAPLUS
CN 4-Ouinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-{1,1-dimethylethyl}-1H-pyrazol-3-yl]-2-{4pyridinyl}- {9CI} (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-54-5 CAPLUS CN Thielo(3,2-d)pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN SSION NUMBER: 2002:220581 CAPLUS MENT NUMBER: 136:247581 ACCESSION NUMBER DOCUMENT NUMBER: 136:247581
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alxheimer's disease
Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 357 pp.
CODEN: PIXXD2
Patent INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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- 1	II.	2004	0075	01		A 1		2004	0520		116	2001 -	9534	71		2	0010	914
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- 1	TP	2004	5187	43		T 2		2004	0624		TP :	2001- 2002-	5659	76		2	0011	219
	TP	2004	5194	79		T2		2004	0702		JP :	2002-	5679	28		2	0011	219
- 3	7.A	2003	0016	97		A		2004	1050		ZA :	2002 - 2003 - 2003 - 2003 - 2003 -	1697			2	0030	228
	Z.A	2003	0016	99		A		2004	0301		ZA :	2003 -	1699			2	0030	228
- 1	7.A	2003	0017	0.2		A		2004	0301		ZA :	2003 -	1702			2	กกรถ	228
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ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

Title compds. I (Wherein G = Ring C or Ring D; Ring C = (un)substituted Ph. pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; 21 = N or CR9, 22 = N or CH; 23 - N or CR8; 24 - N or CR9; and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring

ng
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
(un)substituted fused ring containing 0-3 heteroatoms; T = a bond or
alkylidene chain; W = C(R6)20, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO,
CR6OCONR6, C(R6)2NR6CO2, CR6S: CNR6C, CR6.SND, C(R6)2NR6NB0,
C(R6)2NR6SO2NR6, C(R6)2NR6CO2, CR6S: NNR6, CR6.SND, C(R6)2NR6NB0,
C(R6)2NR6SO2NR6, C(R6)2NR6CO2, CR6DCO2, CR6OCO,
C(R6)2NR6CO2, CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2,
CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2,
CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2,
CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2, CR6DCO2,
CR6DCO2, CR6DC

(Continued)

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) CO2R, COCOR, COCH2COR, NO2, CN, S00-2R, N(R4)2, CON(R4)2, S02N(R4)2, OCOR

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NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,
NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

ARMADIAN (1), NRASOZA, OF COCKNETS; RG = R., COR, COLARDIAN, RF12, Or SOZR7, Or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un) substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, COZR, COZR

results for the immulation of sorps, sorps, and the second instance, the N. (4-pyrimidinyl)·3-pyrazolamine II was prepd. and exhibited

Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404827-24-3P, [2-(2-chloropyridin-3-yl)quinazolin-4-yl] [5,7-Difluoro-1H-indazol-3-yl]amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)amine 404828-10-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) [5-methyl-2H-pyrazol-3-yl]amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) [5-methyl-2H-pyrazol-3-yl]amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl-2) [(2-pyridin-4-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl-2) [2-pyridin-4-ylquinazolin-4-yl-2] [2-pyridin-4-yl-2] [

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease)
404827-24-3 CAPLUS
4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (SCI) (CA INDEX NAME)

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

R MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404028-12-2 CRPUUS 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

R MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404828-37-1 CAPULS 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

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ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE $404828 \cdot 10 \cdot 0$ CAPLUS

4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPEUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS ON STN (CONTINUOUS OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404824-45-1 CAPLUS (Continued)

4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-54-5 CAPLUS CN Thieno(3,2-d)pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

(Continued) L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4	ANSWER 7 OF 10	CAPLUS	COPYRIGHT 2004	ACS on STN	(Continued)
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	JP 2004519479	T2	20040702	JP 2002-567928	20011219
	ZA 2003001697	A	20040301	ZA 2003-1697	20030228
	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	А	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003001190	A	20030513	NO 2003-1190	20030314
	NO 2003002704	A	20030821	NO 2003-2704	20030613
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	US 2004132781	A1	20040708	US 2003-736426	20031215
,	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIOR	RITY APPLN. INFO	. :		US 2000-2327951	P 20000915
				US 2000-2578871	P 20001221
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				WO 2001-US28792	W 20010914
				US 2001-26966	A1 20011219
		,		WO 2001-US49139	W 20011219
				WO 2001-US50312	W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220
OTHER	R SOURCE(S):	MARP.	AT 136:247606		

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:247606
Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

INVENTOR(S):
Davies, Robert; Bebbington, David, Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David, Davies, Robert
Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 357 pp.
CODEN: PIXXD2
PATENT INFORMATION:

CAPLUS COPYRIGHT 2004 ACS on STN
2002:220580 CAPLUS
136:247606
Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

Davies, Robert Japanes, Patelly Copyright 2004 ACS on STN
2002:220580 CAPLUS
136:247606
Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

Davies, Robert, Bebbington, David, Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David, Davies, Robert
Vertex Pharmaceuticals Incorporated, USA PCT, Int. Appl., 357 pp.
CODEN: PIXXD2
PATENT INFORMATION: 14 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATEN	T I	10.			KINI)	DATE		1	APPL	ICAT	ION	NO.		D	ATE	
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US 66	385	926			B2		2003	1028									
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US 20										US 2	001-	9556	01		2	0010	914
US 66																	
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US 66 EP 13	100	577			B2		2003	0826									
EP 13	317	150			A1		2003	0611		EP 2	001-	9752	10		2	0010	914
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ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

 ${\tt AB}$ The preparation of title compds. I and their pharmaceutically acceptable salts

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un) substituted fused, unsaid. or partially unsaid. 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl. heteroaryl, heterocyclyl ing; R3, R4 = independently H, aliphatic, aryl. heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsaid. or partially unsaid. ring having 0-3 ring heterostoms (N, S, O); R5 = fused, (un) substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinacolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compda. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bicassays, compda. I inhibited the following kinases with Kis reported < 100 nM: GSK-38 (163 compda.). AURORA-2 (65 compda.). CNK-2 (no data). EKK2 (6 compds.) AIK (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

syntheses of 6 compds, and 188 examples of 6 compds, and 46 intermediates are described. IT 404827-24-39 404828-10-D9 404828-11-19 404828-12-29 404828-77-1P 404828-45-1P 404828-50-89 404829-54-59

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

(Continued)

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
404827-24-3 CAPLUS
4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-11-1 CAPLUS CN - 4-Quinazolinamine, 7-chloro-N-{5-methyl-1H-pyrazol-3-yl}-2-{4-pyridinyl}-(5C1) (CA INDEX NAME)

(Continued) ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-45-1 CAPLUS

CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404228-50-8 CAPLUS
CN 4-Ouinazolinamine, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-2-(4pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPIUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9C1) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 404829-54-5 CAPLUS Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE POR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

PATENT INFORMATION:

L4 ANSWER 8 OP 10 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2002:220579 CAPLUS DOCUMENT NUMBER: 136:247580 TITLE: Preparation 136:247580
Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease Davies, Robert; Li, Pan; Golec, Julian; Bebbington, INVENTOR (S): uavid
Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 406 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT:

APPLICATION NO. DATE PATENT NO. KIND DATE WO 2002022603 20020321 WO 2001-US28738 20010914 AU 2001090912 US 2003055044 US 2003055044 US 6638926 US 2003064981 US 6613776 US 20030073687 A1
US 6660731 B2 20031209
US 2003078166 A1 20030424 US 2001-9556...
US 6696452 B2 20040224
US 200308337 A1 20030801 US 2001-952833 20010914
US 6610677 B2 20030801 US 2001-952833 20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001701 A 20040301 ZA 2003-1701 20010914
ZA 2003001701 A 20040301 ZA 2003-1701 20010914
ZA 2003001701 A 20040302 ZA 2003-1701 20010914
ZA 2003001701 A 20040302 US 2001-953471 20010914
ZA 2003001701 A1 20040302 US 2001-953471 20010914
US 2004097501 A1 20040302 US 2001-953471 20010914
US 2004097501 A1 20040302 US 2001-953471 20010914
US 2004097501 A1 20040302 US 2001-953471 20010914
UF 2004525075 T2 20040819 JP 2002-526656 20010914
EP 1345922 A1 20030024 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355505 A1 20031029 EP 2001-273861 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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RT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
RT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, S 2003064982 US 2003073687

OCOR.

NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2N(R4)2, NR4SO2N(R4)2; R4 = R7, COR7, CO2(aliph.),

RMASUZNIKA)2, NRASOZR, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), R7)2, or SOZR7; or NRA)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)aubstituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; cor N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, COZR, COZ

glycogem synthetase kinase 3ß (GSK-3ß) and 0.1-1.0 µM for Aurora-2.
404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl](5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P,
[5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine
404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) mine
404828-45-1P, (2H-Pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) amine
404828-45-1P, (2H-Pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) amine
404828-45-1P, (2H-Pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) amine
404828-45-1P, (2H-Pyrazol-3-yl) (2-pyridin-4-yl) (2-pyridin-4-yl) amine
4-ylquinazolin-4-yl) amine 404829-54-5P, (5-Methyl-2H-pyrazol-3-yl) - (2-pyridin-4-yl) amine 404828-50-4-5P, (5-Methyl-2H-pyrazol-3-yl) - (2-pyridin-4-yl) - (2-pyridin

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines

analogs as protein kinase inhibitors for treatment of cancer,

diabetes,
and Alzheimer's disease)
RN 40487-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)-(9CI) (CA INDEX NAME)

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L4	ANSWER 8 OF 10	CAPLUS	COPYRIGHT 2004		(Continued) 20030228
	ZA 2003001697	A	20040301	ZA 2003-1697	
	ZA 2003001699	A	20040301	ZA 2003-1699	20030228
	ZA 2003001702	A	20040301	ZA 2003-1702	. 20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	20031215
	US 2004167141	A1	20040826	US 2004-775699	20040210
PRIO	RITY APPLN. INFO			US 2000-232795	P 20000915
				US 2000-2578871	P 20001221
				US 2001-2869491	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US28736	W 20010914
				## DOOL OLDER	
				US 2001-26966	A1 20011219
			,	05 2001 20700	A1 20011217
			,	WO 2001-US49139	W 20011219
				NO 2001-031713	
				WO 2001-US50312	W 20011219
				MO 2001-0330312	20011219
				US 2001-34019	A3 20011220
				05 2001-34019	A3 20011220
					** *******
				.US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:247580

Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CR7; Z4 = N or CR9; Rx and Ry = independently TR3, or taken

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404828-10-0 CAPLUS 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-11-1 CAPLUS

R MORE TAUTOMERIC DOUB 404828-11-1 CAPLUS 4-Quinazolinamine, 7-c (9CI) (CA INDEX NAME) 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

OR MOUNE INCIDENCE DUBBLE DUBBS NOT DISTRIBE IN THE STRUCTIONS
404828-12-2 CAPLUS
4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-37-1 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

ANSWER B OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-54-5 CAPLUS CN Thieno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

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ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-45-1 CAPLUS CN 4-Oxinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
136:263164
Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alxheimer's diaesee
INVENTOR(S):
Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 377 pp.
CODENT TYPE:
LANGINGE:
PAMILY ACC. NUM. COUNT:
PAMENT INFORMATION:
14
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION;

IEMI INFORMATION.				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
			BA, BB, BG, BR, BY,	
			DZ, EC, EE, ES, FI,	
			JP, KE, KG, KP, KR,	
			MK, MN, MW, MX, MZ,	
			SK, SL, TJ, TM, TR,	
			AZ, BY, KG, KZ, MD,	
			SL, SZ, TZ, UG, ZW,	
ĎĒ, DK,	ES, PI, F	R, GB, GR,	IE, IT, LU, MC, NL,	PT, SE, TR, BF,
BJ, CF,	CG, CI, C	CM, GA, GN,	GQ, GW, ML, MR, NE,	SN, TD, TG
AU 2001096875	A5	20020326	AU 2001-96875	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	H2	20030902		
US 2003064982	A 1	20030403	119 2001-952875	20010914
116 2003004502	A1	20030403	GQ, GW, ML, MR, NE, AU 2001-96875 US 2001-953505 US 2001-952836 US 2001-952875 US 2001-952671	20010914
115 6660731	B2	20030427	00 2001 3000.1	20010314
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UP 6606462	B2	20030424	05 2001 355001	20010914
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03 2003083327	P2	20030301	00 4001 331033	20010314
US 66106//	102	20030626	EP 2001-977783	20010014
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15, 51,	LI, LV, F	1, KU, MK,	78 2003 1701	20010014
ZA 2003001701	A	20040301	ZA 2003-1701	20010914
ZA 2003001703	A	20040302	ZA 2003-1703	20010914
JP 2004509114	12	20040325	ZA 2003-1701 ZA 2003-1703 JP 2002-526855 US 2001-953471	20010914
US 2004097501	A1	20040520	EP 2001-271061	20010914
			GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	LT, LV, F	I, RO, MK,	CY, AL, TR EP 2001-273861	
EP 1355905				
			GB, GR, IT, LI, LU,	
IE, SI,	LT, LV, F	71, RO, MK,	CY, AL, TR	
NZ 526472	A	20040430	NZ 2001-526472	20011219
JP 2004518743	T2	20040624	JP 2002-565976	20011219
JP 2004519479	T2	20040702	CY, AL, TR NZ 2001-526472 JP 2002-565976 JP 2002-567928	20011219
ZA 2003001697	A	20040301	ZA 2003-1697	20030228
ZA 2003001699	A	20040301	ZA 2003-1699	20030228
ZA 2003001702	А	20040301	JP 2002-567928 ZA 2003-1697 ZA 2003-1699 ZA 2003-1702	20030228
09/17/20				

Page 18

1.4	ANSWER 9 OF 10	CAPLUS	COPYRIGHT 2004	ACS OR STN	(Continued)
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	Ä	20040302	ZA 2003-1698	20030228
	NO 2003001090	A	20030821	NO 2003-2704	20030613
	US 2003002704	A1	20030621	US 2003-692355	20031023
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004137093	A1	20040708	US 2003 - 736426	20031215
	US 2004152781	A1	20040708	US 2004-775699	20040210
	RITY APPLN. INFO		20040826	US 2000-232795F	
PRIO	RITT APPLA. INFO	. :		US 2000-232/95E	, P 20000915
				US 2000-257887F	P 20001221
				US 2001-286949F	P 20010427
				US 2001-955601	A3 20010914
				WO 2001-U542162	W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US49139	W 20011219
				WO 2001-US50312	
				US 2001-34019 ,	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S): MARPAT 136:263164

Answer 9 of 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CR; R9 is defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioasesy results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-2β) and 1.0-20 μM for Aurora-2. (GSK-2β-12-12) (7-Chloropyridin-3-yl)quinazolin-4-yl] (5,7-DITluoro-1H-indazol-3-yl) lamine 404828-13-19, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-12, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)-mine 404828-13-19, (S-methyl-2H-pyrazol-3-yl) lamine 404828-13-19, (S-Methyl-2H-pyrazol-3-yl) (2-pyridin-3-yl)-quinazolin-4-yl)amine 404828-50-8p, (5-tett-Putyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl)-10-gyridin-4-yl-10-gyridin-

(Uses)
(Uses)
(protein kinase inhibitor; preparation of triazolamines,
pyrazolamines, and
analogs as protein kinase inhibitors for treatment of cancer,
diabetes,
and Alzheimer's disease)
RN 404827-24-3 CAPIUS
CN 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI)
(CA INDEX NAME)

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring

AB Triazolamines I and pyrazolamines II (wherein G = king C or king D; king C = (un) substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un) substituted monocyclic or bicyclic ring selected from aryl. heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CRs; Z2 = N or CR; Z3 = N or CRs; Z4 = N or CRy; Rx and Ry = independently
TR3, or taken together with their intervening atoms form an (un) saturated tused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TMR6, or CZBRZSa = (un) substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)20, C(R6)250-2, C(R6)2NR6, C0, CO2, CR60CO, CR60CONR6, C(R6)2NR6COC, C(R6)2NR6COC, CR6:NNR6, CR6:NO, CR6: NNR6, CR6:NO, CR6)2NRSONR6, CR6: NO, or CONR6; R = H or (un) substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo,

halo,
O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2,
SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR,
NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2: R4 = R7, COR7,
CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or
heteroary1;
R6 and R7 = independently H or (un)substituted aliphatic group; or
N(R6)2 =

R6 and R7 = independently n or (un,ossessesses)
R(R6)2 =
heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 =
R, halo, OR, COR, COZR, COCOR, etc.] were prepared as protein kinase
inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating
diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404628-11-1 CAPLUS 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)(9C1) (CA INDEX NAME)

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-37-1 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (9C1) {CA INDEX NAME}

L4 ANSWER 9 OF .10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE A04828-50-8 CAPLUS
CN 4-Outnazolinamine, N-[5-[1,1-dimethylethyl]-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404829-54-5 CAPLUS CN Theno[3,2-d]pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT I	NO.			KIN	D	DATE	:		APP	LI CA	LION	NO.		D	ATE	
WO	2002	0226	01		A 1	-	2002	10121		WO .	2001	11020	740	;	-	0010	07.4
	W:	AF	NG.	AT.	AM.	ът	AII	17	D.	"00	2001	BR,	DV	DIZ	~ ~	0010	914
	•••	CO.	CP.	CII.	CZ.	DE,	DV.	DM	D7	EC	, DG	ES,	DI,	D2,	CA,	CH,	CN,
		GM.	HD,	wii	TD.	II.	IM	TO.	JD,	VC.	, EE,	KP,	FI,	GD,	GD,	GE,	GH,
		T.C	T.T	1.13	LA.	MA,	MD.	MC.	Mr,	MO	, KG	MX,	KK,	KZ,	щ,	LK,	ьк,
		DT.	ы,	DU,	ED,	EM,	MD,	mu,	MK,	MN.	, mw,	TM,	MZ,	NO,	NZ,	PH,	PL,
		HC.	KO,	W.	au,	71	56,	51,	5K,	27	, 13,	KZ,	TR,	TT,	TZ,	UA,	UG,
	DW.	CU.	CM.	Ata'	10,	2A,	ZW,	AM,	AZ,	BI	, KG,	KZ,	MD,	RU,	TJ,	TM	
	KW:	DE,	DV.	EC,	LO,	mw,	mz,	SD,	SL,	52	. 12,	UG,	ZW,	AT,	BE,	CH,	CY.
												MC,					
	2001	BU,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW	ML,	MR,	NE,	SN,	TD,	TG	
AU	20010	1909	14		A5		2002	0326		AU :	2001	9091	4		2	0010	914
US	20030	1550	44		A1		3003	0320		US :	2001	9535	05		2	0010	914
US	6638	926			B2		2003	1028									
υs	20030	3649	81		Al		2003	0403		US :	2001 -	9528	36		2	0010	914
US	20010 20030 66389 20030 66131 20030 66600 20030 66964 20030 66106	776			B2		2003	0902									
US	20030	0649	82		A1		2003	0403		US :	2001-	9528	75		2	0010	914
US	20030	736	87		λı		2003	0417		us 2	2001	9526	71		2	0010	914
US	6660	731			B2		2003	1209				-					
US	20030	781	66		A1		2003	0424		US: 2	2001-	9556	01		2	0010	914
US	66964	152			B2		2004	0224									
us	20030	9833	27		A1		2003	0501		US 2	2001 -	9528	33		2	0010	914
ŲS	13174	77			B2		2003	0826									
ΕP	13174	144			A1		2003	0611		EP 2	2001-	9709	71		21	0010	914
	R:	м.,	DE,	ÇН,	DE,	DK,	ES,	PR,	GB,	GR.	. IT.	LI,	LU,	NL,	SE,	MC.	PT.
		IR.	SI.	T.T	LV	PT	PO	ME	CV	A.T.	TD						
ZA	20030	0170	01		A		2004	0301		ZA 2	3003-	1701			2	0010	914
ZA	20030	0170	03		A		2004	0302		ZA 2	3003-	1703			20	0010	914
JΡ	20049	091	13		T2		2004	0325		JP 2	3002-	5268	54		21	0010	914
US	20030 20030 20049 20040 13459	9750	01		Aı		2004	0520		us a	001-	9534	71		21	0010	914
EΡ	13459	22			A1		2003	0924		EP 2	001-	2710	61		20	0011	219
	R:	AT,	BE,	CH,	DE,	DK.	ES.	FR.	GB.	GR.	IT.	LI,	Tati.	NI.	SE.	MC.	PT.
		IE,	SI,	LT.	LV,	FI.	RO.	MK,	CY.	AL.	TR	,	,	,	~,	,	,
EΡ	13559	05			A1		2003	1029		EP 2	001-	2738	61		21	1011	210
	R:	AT,	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	tr	LI,	1.11	MT.	SE.	MC.	DT
		IE.	SI	1.70	T.32	DT	DO.	MU	CT/	B.T	mp						
	52647	2 .			A		2004	0430		NZ 2	001-	5264	72		20	2011	210
	20045	1874	13		Т2		2004	0624		TP 2	002-	5650	76		20	2011	220
JP																	
JP JP	20045	1947	79		T2		2004	0702		TP 2	002-	5670	20		21		210
JP JP ZA	52647 20045 20045 20030 20030	1947	79		T2		2004	0702		JP 2	002-	5679	28		20	0011	219

L4	ANSWER 10 OF 10	CAPLUS	COPYRIGHT 20	004 ACS on STN	(Continued)
	ZA 2003001702	A	20040301	ZA 2003-1702	20030228
	ZA 2003001704	A	20040301	ZA 2003-1704	20030228
	ZA 2003001698	A	20040302	ZA 2003-1698	20030228
	NO 2003002704	A	20030821	NO 2003-2704	20030613
	US 2004116454	A1	20040617	US 2003-692355	
	US 2004157893	A1	20040812	US 2003-722374	20031125
	US 2004132781	A1	20040708	US 2003-736426	
	US 2004167141	A1	20040826	US 2004-775699	
PRIC	ORITY APPLN. INFO.	:		US 2000-232795	P P 20000915
				US 2000-257887	P P 20001221
				US 2001-286949	P P 20010427
				US 2001-955601	A3 20010914
				WO 2001-US2874	0 W 20010914
				US 2001-26966	A1 20011219
				WO 2001-US4913	9 W 20011219
				WO 2001-US5031	2 W 20011219
				US 2001-34019	A3 20011220
				US 2001-34683	A1 20011220

OTHER SOURCE(S):

MARPAT 136:247579



Title compds. I (wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or C89; Z2 = N or C1; Z3 = N or C87; Z4 = N or C87; Z4 = N or C87; Z4 = N or Z87; Z98 = N or Z98; Z98 = N or Z98; Z99 = N or Z90 = N or Z99 = N or Z99 = N or Z90 = N or Z90

ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404828-10-0 CAPIUS 4-Quinazoliamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 404828-11-1 CAPLUS 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-(9CI) (CA INDEX NAME)

ANSHER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a =
(un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or
alkylidene chain; W = C(R6) 20, C(R6) 250-2, C(R6) 2MR6, CO, CO2, CR6OCO,
CRSOCONR6, C(R6) 2NR6CO2, C(R6) 2MR6CO2, CR6:NNR6, CR6:NO, C(R6) 2MR6NB6,
C(R6) 2NR6SO2NR6, C(R6) 2NR6CO2, CR6:NNR6, CR6:NO, C(R6) 2MR6NB6,
C(R6) 2NR6SO2NR6, C(R6) 2NR6CO2, CR6:NNR6, R = H or (un)substituted
aliph., (heterolaryl, or heterocyclyl ring; R3 = R, halo, 0, OR, COR,
COZR, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR.

,
NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2,
NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.),

NR4SOZN(R4)Z, NR4SOZK, OT OLONINTS; Re = K, Con, Columnia, R7]Z,
or SOZR7; or N(R4)Z = heterocyclyl or heteroaryl; R6 and R7 =
independently H or (un)substituted aliph, group; or N(R6)Z = heterocyclyl
or heteroaryl; or N(R7)Z = heterocyclyl or heteroaryl; R9 = R, halo, OR,
COR, COZR, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer,
diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and
pyridinyl- pyraclamines and indazolamines I (wherein Z1 = N, CRa, or CH;
Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRX; Z4 = CRY; Ra =
halo, OR, COR, COZR, COCOR, NOZ, CN, SOO-ZR, NIR4)Z, CON(R4)Z, SOZM(R4)Z,
OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data
for approx. 300 invention compds. prepd. by a variety of synthetic
ods

methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd.

exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.
404827-24-3P. [2-(2-Chloropyridin-3-yl)quinazolin-4-yl] (5,7-Difluoro-1H-indazol-3-yl)amin 404828-10-0P.
(5-Methyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl)amine 404828-11-1P. (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl) amine 404828-12-2P. (6-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl) amine 404828-13-2P. (6-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) mine 404828-13-2P. (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) mine 404828-50-8P. (5-Ctrt-Eutyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl) amine 404828-50-8P. (5-tert-Eutyl-2H-pyrazol-3-yl) (2-pyridin-4-yl) amine 404828-50-8P. (

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines analogs as protein kinase inhibitors for treatment of cancer,

diabetes,
and Alzheimer's disease)
RN 404827-24-3 CAPLUS
CN 4-Quinazolinamine, 2-(2-chlo 400827-24-3 CAPLUS 4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RN 404828-12-2 CAPILUS
OF 4-Ouinazolinamin Carina

OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
404828-37-1 CAPLUS
4-Quinazoliamnine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (9CI)
(CA INDEX NAME)

ANSMER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- {9CI} (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-{1,1-dimethylethyl}-1H-pyrazol-3-yl]-2-{4pyridinyl}- {9CI} (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-54-5 CAPLUS
CN ThienG(3,2-d)pyrimidin-4-amine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT